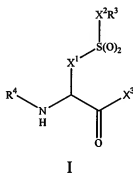


This listing of claims will replace all prior versions and listings of claims in the application.

Listing of Claims

1. (Previously presented) A compound of Formula I:



in which:

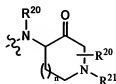
X^1 and X^2 are both methylene or X^1 is ethylene and X^2 is a bond;

R^3 is $-\text{CR}^5=\text{CHR}^6$, $-\text{CR}^5(\text{CR}^6_3)_2$ or $-\text{CR}^7=\text{NR}^8$, wherein R^5 is hydrogen and R^6 is hydrogen or (C_{1-4}) alkyl or R^5 and R^6 together with the atoms to which R^5 and R^6 are attached form (C_{3-12}) cycloalkenyl, hetero (C_{5-12}) cycloalkenyl, (C_{6-12}) aryl, hetero (C_{6-12}) aryl, (C_{9-12}) bicycloaryl or hetero (C_{8-12}) bicycloaryl and R^7 and R^8 together with the atoms to which R^7 and R^8 are attached form hetero (C_{5-12}) cycloalkenyl, hetero (C_{6-12}) aryl or hetero (C_{8-12}) bicycloaryl, wherein R^3 optionally is substituted by 1 to 5 radicals independently selected from a group consisting of (C_{1-4}) alkyl, cyano, halo, halo-substituted (C_{1-4}) alkyl, nitro, $-\text{X}^4\text{NR}^9\text{R}^9$, $-\text{X}^4\text{OR}^9$, $-\text{X}^4\text{SR}^9$, $-\text{X}^4\text{C}(\text{O})\text{NR}^9\text{R}^9$, $-\text{X}^4\text{C}(\text{O})\text{OR}^9$, $-\text{X}^4\text{S}(\text{O})\text{R}^{10}$, $-\text{X}^4\text{S}(\text{O})_2\text{R}^{10}$ and $-\text{X}^4\text{C}(\text{O})\text{R}^{10}$, wherein X^4 is a bond or (C_{1-2}) alkylene, R^9 at each occurrence independently is hydrogen, (C_{1-3}) alkyl or halo-substituted (C_{1-3}) alkyl and R^{10} is (C_{1-3}) alkyl or halo-substituted (C_{1-3}) alkyl; and R^4 is $-\text{C}(\text{O})\text{X}^5\text{R}^{11}$ or $-\text{S}(\text{O})_2\text{X}^5\text{R}^{11}$, wherein X^5 is a bond, $-\text{O}-$ or $-\text{NR}^{12}-$, wherein

R^{12} is hydrogen or (C_{1-6}) alkyl, and R^{11} is (i) (C_{1-6}) alkyl optionally substituted by $-OR^{13}$, $-SR^{13}$, $-S(O)R^{13}$, $-S(O)_2R^{13}$, $-C(O)R^{13}$, $-C(O)OR^{13}$, $-C(O)NR^{13}R^{14}$, $-NR^{13}R^{14}$, $-NR^{14}C(O)R^{13}$, $-NR^{14}C(O)OR^{13}$, $-NR^{14}C(O)NR^{13}R^{14}$ or $-NR^{14}C(NR^{14})NR^{13}R^{14}$, wherein R^{13} is (C_{3-12}) cycloalkyl (C_{0-3}) alkyl, hetero (C_{5-12}) cycloalkyl (C_{0-3}) alkyl, (C_{6-12}) aryl (C_{0-3}) alkyl, hetero (C_{5-12}) aryl (C_{0-3}) alkyl, (C_{9-12}) bicycloaryl (C_{0-3}) alkyl or hetero (C_{8-12}) bicycloaryl (C_{0-3}) alkyl and R^{14} at each occurrence independently is hydrogen or (C_{1-6}) alkyl, or (ii) (C_{3-12}) cycloalkyl (C_{0-3}) alkyl, hetero (C_{5-12}) cycloalkyl (C_{0-3}) alkyl, (C_{6-12}) aryl (C_{0-3}) alkyl, hetero (C_{5-12}) aryl (C_{0-3}) alkyl, (C_{9-12}) bicycloaryl (C_{0-3}) alkyl or hetero (C_{8-12}) bicycloaryl (C_{0-3}) alkyl or (iii) (C_{3-6}) cycloalkyl (C_{0-3}) alkyl, hetero (C_{5-6}) cycloalkyl (C_{0-3}) alkyl, phenyl (C_{0-3}) alkyl or hetero (C_{5-6}) aryl (C_{0-3}) alkyl substituted by $-X^6OR^{15}$, $-X^6SR^{15}$, $-X^6S(O)R^{15}$, $-X^6S(O)_2R^{15}$, $-X^6C(O)R^{15}$, $-X^6C(O)OR^{15}$, $-X^6C(O)NR^{15}R^{16}$, $-X^6NR^{15}R^{16}$, $-X^6NR^{16}C(O)R^{15}$, $-X^6NR^{16}C(O)OR^{15}$, $-X^6NR^{16}C(O)NR^{15}R^{16}$, $-X^6NR^{16}C(O)OR^{16}$, $-X^6NR^{16}C(NR^{16})NR^{15}R^{16}$, wherein X^6 is a bond or methylene, R^{15} is (C_{3-6}) cycloalkyl (C_{0-3}) alkyl, hetero (C_{5-6}) cycloalkyl (C_{0-3}) alkyl, phenyl (C_{0-3}) alkyl or hetero (C_{5-6}) aryl (C_{0-3}) alkyl and R^{16} is hydrogen or (C_{1-6}) alkyl; wherein R^4 optionally further contains 1 to 5 substituents which when occurring within an alicyclic or aromatic ring system are radicals independently selected from a group consisting of (C_{1-6}) alkyl, (C_{1-6}) alkylidene, cyano, halo, nitro, halo-substituted (C_{1-3}) alkyl, $-X^6NR^{17}R^{17}$, $-X^6NR^{17}C(O)OR^{17}$, $-X^6NR^{17}C(O)NR^{17}R^{17}$, $-X^6NR^{17}C(NR^{17})NR^{17}R^{17}$, $-X^6OR^{17}$, $-X^6SR^{17}$, $-X^6C(O)OR^{17}$, $-X^6C(O)NR^{17}R^{17}$, $-X^6S(O)_2NR^{17}R^{17}$, $-X^6P(O)(OR^{18})OR^{17}$, $-X^6OP(O)(OR^{18})OR^{17}$, $-X^6NR^{17}C(O)R^{18}$, $-X^6S(O)R^{18}$, $-X^6S(O)_2R^{18}$ and $-X^6C(O)R^{18}$ and when occurring within an aliphatic moiety are radicals independently selected from a group consisting of cyano, halo, nitro, $-NR^{17}R^{17}$, $-NR^{17}C(O)OR^{17}$, $-NR^{17}C(O)NR^{17}R^{17}$, $-NR^{17}C(NR^{17})NR^{17}R^{17}$, $-OR^{17}$, $-SR^{17}$, $-C(O)OR^{17}$, $-C(O)NR^{17}R^{17}$, $-S(O)_2NR^{17}R^{17}$, $-P(O)(OR^{17})OR^{17}$, $-OP(O)(OR^{17})OR^{17}$, $-NR^{17}C(O)R^{18}$, $-S(O)R^{18}$, $-S(O)_2R^{18}$ and $-C(O)R^{18}$, wherein X^6 is a bond or (C_{1-6}) alkylene, R^{17} at each occurrence independently is hydrogen, (C_{1-6}) alkyl or

halo-substituted (C₁₋₃)alkyl and R¹⁸ is (C₁₋₆)alkyl or halo-substituted (C₁₋₃)alkyl;

X³ is a group of Formula (a):



(a)

n is 0, 1 or 2;

R²⁰ is selected from the group consisting of hydrogen, (C₁₋₆)alkyl, (C₃₋₁₂)cycloalkyl(C₀₋₆)alkyl, hetero(C₅₋₁₂)cycloalkyl(C₀₋₆)alkyl, (C₆₋₁₂)aryl(C₀₋₆)alkyl and hetero(C₅₋₁₂)aryl(C₀₋₆)alkyl;

R²¹ is selected from the group consisting of hydrogen, (C₁₋₉)alkyl, (C₃₋₁₂)cycloalkyl(C₀₋₆)alkyl, hetero(C₅₋₁₂)cycloalkyl(C₀₋₆)alkyl, (C₆₋₁₂)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₂)aryl(C₀₋₆)alkyl, (C₉₋₁₂)bicycloaryl(C₀₋₃)alkyl, hetero(C₈₋₁₂)-bicycloaryl(C₀₋₃)alkyl, -C(O)R²⁶, -C(S)R²⁶, -S(O)₂R²⁶, -C(O)OR²⁶, -C(O)N(R²⁶)R²⁷, -C(S)N(R²⁶)R²⁷ and -S(O)₂N(R²⁷)R²⁶;

R²⁶ is selected from the group consisting of hydrogen, (C₁₋₆)alkyl, (C₃₋₁₂)cycloalkyl(C₀₋₆)alkyl, hetero(C₅₋₁₂)cycloalkyl(C₀₋₆)alkyl, (C₆₋₁₂)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₂)aryl(C₀₋₆)alkyl, (C₉₋₁₂)bicycloaryl(C₀₋₃)alkyl or hetero(C₈₋₁₂)-bicycloaryl(C₀₋₃)alkyl;

R²⁷ is hydrogen, (C₁₋₆)alkyl, (C₃₋₁₂)cycloalkyl(C₀₋₆)alkyl, hetero(C₅₋₁₂)cycloalkyl(C₀₋₆)alkyl, (C₆₋₁₂)aryl(C₀₋₆)alkyl or hetero(C₅₋₁₂)aryl(C₀₋₆)alkyl;

wherein X³ optionally further contains 1 to 5 substituents which when occurring within an alicyclic or aromatic ring system are radicals independently selected from a group consisting of (C₁₋₆)alkyl, (C₁₋₆)alkylidene, cyano, halo, nitro, halo-substituted (C₁₋₃)alkyl, -X⁶NR¹⁷C(O)OR¹⁷, -X⁶NR¹⁷C(O)NR¹⁷R¹⁷, -X⁶NR¹⁷C(NR¹⁷)NR¹⁷R¹⁷, -X⁶OR¹⁷, -X⁶C(O)R¹⁷, -X⁶OR¹⁵, -X⁶SR¹⁷, -X⁶C(O)OR¹⁷,

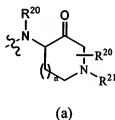
$-X^6C(O)NR^{17}R^{17}$, $-X^6S(O)_2NR^{17}R^{17}$, $-X^6P(O)(OR^8)OR^{17}$, $-X^6OP(O)(OR^8)OR^{17}$, $-X^6NR^{17}C(O)R^{18}$, $-X^6S(O)R^{18}$, $-X^6S(O)_2R^{18}$ and $-X^6C(O)R^{18}$ and when occurring within an aliphatic moiety are radicals independently selected from a group consisting of cyano, halo, nitro, $-NR^{17}R^{17}$, $-NR^{17}C(O)OR^{17}$, $-NR^{17}C(O)NR^{17}R^{17}$, $-NR^{17}C(NR^{17})NR^{17}R^{17}$, $-OR^{17}$, $-SR^{17}$, $-C(O)OR^{17}$, $-C(O)NR^{17}R^{17}$, $-S(O)_2NR^{17}R^{17}$, $-P(O)(OR^{17})OR^{17}$, $-OP(O)(OR^{17})OR^{17}$, $-NR^{17}C(O)R^{18}$, $-S(O)R^{18}$, $-S(O)_2R^{18}$ and $-C(O)R^{18}$, wherein R^{15} , R^{17} , R^{18} and X^6 are as described above; and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

2. (Previously presented) The compound of claim 1 in which X^1 and X^2 are both methylene or X^1 is ethylene and X^2 is a bond; R^3 is $-CR^5=CHR^6$, $-CR^5(CR^6_3)_2$ or $-CR^7=NR^8$, wherein R^5 is hydrogen and R^6 is hydrogen or (C_{1-4}) alkyl or R^5 and R^6 together with the atoms to which R^5 and R^6 are attached form (C_{3-12}) cycloalkenyl, (C_{6-12}) aryl, hetero (C_{6-12}) aryl or (C_{9-12}) bicycloaryl and R^7 and R^8 together with the atoms to which R^7 and R^8 are attached form hetero (C_{5-12}) cycloalkenyl or hetero (C_{6-12}) aryl, wherein R^3 optionally is substituted by 1 to 5 radicals independently selected from a group consisting of (C_{1-4}) alkyl, cyano, halo, halo-substituted (C_{1-4}) alkyl, $-X^4OR^9$ and $-X^4C(O)OR^9$, wherein X^4 is a bond or (C_{1-2}) alkylene, R^9 at each occurrence independently is (C_{1-3}) alkyl or halo-substituted (C_{1-3}) alkyl; and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

3. (Previously presented) The compound of claim 2 in which R^4 is $-C(O)X^5R^{11}$ or

-S(O)₂X⁵R¹¹, wherein X⁵ is a bond, -O- or -NR¹²-, wherein R¹² is hydrogen or (C₁₋₆)alkyl, and R¹¹ is (i) (C₁₋₆)alkyl or (ii) hetero(C₅₋₁₂)cycloalkyl(C₀₋₃)alkyl, (C₆₋₁₂)aryl(C₀₋₃)alkyl, hetero(C₅₋₁₂)aryl(C₀₋₃)alkyl, (C₉₋₁₂)bicycloaryl(C₀₋₃)alkyl or hetero(C₈₋₁₂)bicycloaryl(C₀₋₃)alkyl or (iii) hetero(C₅₋₆)cycloalkyl(C₀₋₃)alkyl or phenyl(C₀₋₃)alkyl substituted by -X⁶OR¹⁵, -X⁶C(O)R¹⁵ or -X⁶NR¹⁶C(O)OR¹⁶, wherein X⁶ is a bond or methylene, R¹⁵ is phenyl(C₀₋₃)alkyl or hetero(C₅₋₆)aryl(C₀₋₃)alkyl and R¹⁶ is hydrogen or (C₁₋₆)alkyl; wherein R⁴ optionally further contains 1 to 5 substituents which when occurring within an alicyclic or aromatic ring system are radicals independently selected from a group consisting of (C₁₋₆)alkyl, halo, -X⁶NR¹⁷R¹⁷, -X⁶OR¹⁷, -X⁶C(O)OR¹⁷, -X⁶NC(O)R¹⁶ and -X⁶C(O)R¹⁸, R¹⁷ at each occurrence independently is hydrogen, (C₁₋₆)alkyl or halo-substituted (C₁₋₃)alkyl and R¹⁸ is (C₁₋₆)alkyl or halo-substituted (C₁₋₃)alkyl; and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

4. (Previously presented) The compound of claim 3 in which X³ is a group of Formula (a):



n is 0, 1 or 2;

R²⁰ is selected from the group consisting of hydrogen and (C₁₋₆)alkyl;

R²¹ is selected from the group consisting of (C₁₋₉)alkyl, (C₆₋₁₂)aryl(C₀₋₆)alkyl, -C(O)R²⁶, -S(O)₂R²⁶, -C(O)OR²⁶ and -C(O)N(R²⁶)R²⁷;

R²⁶ is selected from the group consisting of (C₁₋₆)alkyl, hetero(C₅₋₁₂)cycloalkyl(C₀₋₆)alkyl, (C₆₋₁₂)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₂)aryl(C₀₋₆)alkyl and (C₉₋₁₂)bicycloaryl(C₀₋₃)alkyl;

R²⁷ is (C₁₋₆)alkyl;

wherein X³ optionally further contains 1 to 5 substituents which when occurring within an alicyclic or aromatic ring system are radicals independently selected from a group consisting of (C₁₋₆)alkyl, cyano, halo, -X⁶OR¹⁷, -X⁶C(O)R¹⁷ and -X⁶OR¹⁵; and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

5. (Previously presented) The compound of claim 4 in which R³ is selected from the group consisting of phenyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, vinyl, 2-difluoromethoxyphenyl, 1-oxy-pyridin-2-yl, 4-methoxyphenyl, 4-methylphenyl, 2-methylphenyl, 4-chlorophenyl, 3,5-dimethylphenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 2-bromophenyl, naphthalen-2-yl, 3,4-dichlorophenyl, 3-methylphenyl, 3-trifluoromethylphenyl, 3-trifluoromethoxyphenyl, 2,3,4,5,6-pentafluoro-phenyl, 2-fluorophenyl, 2-chlorophenyl, 2-cyano-phenyl, 2-trifluoromethylphenyl, 4-*tert*-butyl-phenyl, 3-chlorophenyl, 4-bromophenyl, 2-fluoro-3-chloro-phenyl, 2-fluoro-3-methyl-phenyl, 3-fluorophenyl, 2,5-difluorophenyl, 3-bromophenyl, 2,5-dichlorophenyl, 2,6-difluorophenyl, 3-cyano-phenyl, 4-cyano-phenyl, 2-trifluoromethoxyphenyl, 2,3-difluorophenyl, biphenyl, 2-bromo-5-fluorophenyl, 4-fluorophenyl, 3,4-difluorophenyl, 2,4-difluorophenyl, 2,4,6-trifluorophenyl, 2,4,5-trifluorophenyl, 2,3,4-trifluorophenyl, 2-chloro-5-trifluoromethylphenyl, 2,4-

bis-trifluoromethylphenyl, 2,5,6-trifluorophenyl, 2-fluoro-3-trifluoromethylphenyl, 2-fluoro-4-trifluoromethylphenyl, 2-fluoro-5-trifluoromethylphenyl, 2,3,5-trifluorophenyl, 2-fluoro-5-trifluoromethylphenyl, 5-fluoro-2-trifluoromethylphenyl, 4-fluoro-3-trifluoromethylphenyl, 2-methoxyphenyl, 3,5-bis-trifluoromethylphenyl, 4-difluoromethoxyphenyl, 3-difluoromethoxyphenyl, 2,6-dichlorophenyl, 4-carboxyphenyl, cyclohexyl, cyclopropyl, isopropyl, thiophen-2-yl, 5-chloro-thiophen-2-yl and 3,5-dimethyl-isoxazol-4-yl.

6. (Previously presented) The compound of claim 5 in which R⁴ is benzoyl, morpholine-4-carbonyl, acetyl, furan-3-carbonyl, 2-methoxy-benzoyl, 3-methoxy-benzoyl, naphthalene-2-carbonyl, benzo[1,3]dioxole-5-carbonyl, 3-pyridin-3-yl-acryloyl, benzofuran-2-carbonyl, furan-2-carbonyl, *tert*-butoxy-carbonyl, biphenyl-4-carbonyl, quinoline-2-carbonyl, quinoline-3-carbonyl, 3-acetyl-benzoyl, 4-phenoxy-benzoyl, 3-hydroxy-benzoyl, 4-hydroxy-benzoyl, pyridine-3-carbonyl, 3-(*tert*-butoxycarbonylamino-methyl)-benzoyl, 4-carbonyl-piperazine-1-carboxylic acid *tert*-butyl ester, 4-carbonyl-piperazine-1-carboxylic acid ethyl ester, 4-(furan-2-carbonyl)-piperazine-1-carbonyl, pyridine-4-carbonyl, 1-oxy-pyridine-4-carbonyl, 1-oxy-pyridine-3-carbonyl, thiophene-2-carbonyl, thiophene-3-carbonyl, 4-benzoyl-benzoyl, 5-methyl-thiophene-2-carbonyl, 3-chloro-thiophene-2-carbonyl, 3-bromo-thiophene-2-carbonyl, 4-chloro-benzoyl, 3-fluoro-4-methoxy-benzoyl, 4-methoxy-benzoyl, 4-trifluoromethoxy-benzoyl, 3,4-difluoro-benzoyl, 4-fluoro-benzoyl, 3,4-dimethoxy-benzoyl, 3-methyl-benzoyl, 4-bromo-benzoyl, 4-trifluoromethyl-benzoyl, 3-benzoyl-benzoyl, cyclopentane-carbonyl, benzo[b]thiophene-2-carbonyl, 3-chloro-benzo[b]thiophene-2-carbonyl, benzenesulfonyl, naphthalene-2-sulfonyl, 5-methyl-thiophene-2-sulfonyl, thiophene-2-sulfonyl, formamyl-methyl ester, 4-methyl-pentanoyl, formamyl-isobutyl ester, formamyl-monoallyl ester, formamyl-isopropyl ester, *N,N*-dimethyl-formamyl, *N*-isopropyl-formamyl, *N*-pyridin-4-yl-formamyl, *N*-pyridin-3-yl-formamyl, 3-phenyl-acryloyl, 1H-indole-5-carbonyl, pyridine-2-

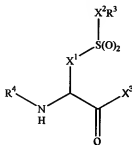
carbonyl, pyrazine-2-carbonyl, 3-hydroxy-pyridine-2-carbonyl, 2-amino-pyridine-3-carbonyl, 2-hydroxy-pyridine-3-carbonyl, 6-amino-pyridine-3-carbonyl, 6-hydroxy-pyridine-3-carbonyl, pyridazine-4-carbonyl, 3-phenoxy-benzoyl and 1-oxo-1,3-dihydro-isoindole-2-carbonyl.

7. (Previously presented) The compound of claim 6 in which X³ is selected from a group consisting of 4-amino-3-oxo-azepane-1-carboxylic acid benzyl ester, 4-amino-3-oxo-azepane-1-carboxylic acid isobutyl ester, 4-amino-1-benzoyl-azepan-3-one, 4-amino-1-benzenesulfonyl-azepan-3-one, 4-amino-1-(pyridine-2-sulfonyl)-azepan-3-one, 4-amino-1-(1-oxy-pyridine-2-sulfonyl)-azepan-3-one, 4-amino-1-(3,4-dichloro-benzenesulfonyl)-azepan-3-one, 4-amino-1-(2-flouro-benzenesulfonyl)-azepan-3-one, 4-amino-1-(3,4-dimethoxy-benzenesulfonyl)-azepan-3-one, 4-amino-1-(2-cyano-benzenesulfonyl)-azepan-3-one, 4-amino-1-(naphthalene-1-sulfonyl)-azepan-3-one, 4-amino-1-(thiophene-2-sulfonyl)-azepan-3-one, 4-amino-1-(thiazole-2-sulfonyl)-azepan-3-one, 4-amino-1-(pyrrolidine-1-sulfonyl)-azepan-3-one, 4-amino-1-methanesulfonyl-azepan-3-one, 4-amino-1-(pyrrolidine-1-carbonyl)-azepan-3-one, 4-amino-3-oxo-azepane-1-carboxylic-acid-dimethylamide, 4-amino-3-oxo-azepane-1-carboxylic-acid-benzylamide, 4-amino-1-benzyl-azepan-3-one, 4-amino-1-benzyl-piperidin-3-one, 4-amino-1-benzoyl-piperidin-3-one, 4-amino-1-benzoyl-pyrrolidin-3-one, 4-amino-1-benzyl-pyrrolidin-3-one, 4-amino-1-benzenesulfonyl-pyrrolidin-3-one and 4-amino-1-(5-methyl-hexyl)-pyrrolidin-3-one.

8. (Previously presented) The compound of claim 7 selected from the group consisting of morpholine-4-carboxylic acid [1-(1-benzoyl-4-oxo-pyrrolidin-3-ylcarbamoyl)-2-phenylmethanesulfonyl-ethyl]-amide, morpholine-4-carboxylic acid [1-(1-benzenesulfonyl-4-oxo-pyrrolidin-3-ylcarbamoyl)-2-phenylmethanesulfonyl-ethyl]-amide and 4-{2-[(Morpholine-4-carbonyl)-amino]-3-phenylmethanesulfonyl-propionylamino}-3-oxo-azepane-1-carboxylic acid benzyl ester.

9. (Previously presented) A pharmaceutical composition comprising a therapeutically effective amount of a compound of Claim 1 in combination with a pharmaceutically acceptable excipient.
10. (Currently amended) A method for treating AIDS, AIDS-related complexes, allogeneic immune responses to organ transplants or tissue grafts, Alzheimer's disease, amyloidosis, aneurysms, arthritis, asthma, atheroma, atherosclerosis, breast, ovarian, prostate, lung, bone, throat, brain, testicular, liver, stomach, or pancreatic cancer, bronchiolitis, bronchitis, cardiovascular disease, chronic obstructive pulmonary disease, Crithidia fusiculata, Crohn's disease, dermatitis, diabetes, disseminated intravascular coagulation, emphysema, endocrine hyperenergia, glomerulonephritis, Graves' disease, Guillain-Barre syndrome, Hashimoto's thyroiditis, hepatitis C, inflammatory airway disease, inflammatory bowel disease, ischemia, liver cirrhosis, lupus, malaria, melanoma, metachromatic leukodystrophy, multiple sclerosis, muscular dystrophy, myasthenia gravis, myocardial infarction, myocarditis, osteoarthritis, osteoporosis, pancreatitis, parasitosis, pemphigus vulgaris, periodontal disease, pneumonitis, psoriasis, pycnodysostosis, schistosomiasis, scleroderma, tissue graft rejections, Trypanosoma brucei, tumor-induced vascular lesions, ulcerative colitis or angina pectoris a disease in an animal in which inhibition of Cathepsin S can prevent, inhibit or ameliorate the pathology and/or symptomology of the disease, which method comprises administering to the animal a therapeutically effective amount of compound of Claim 1 or a *N*-oxide derivative or individual isomer or mixture of isomers thereof; or a pharmaceutically acceptable salt or solvate of such compounds and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.
11. (Cancelled)

12. (Currently amended) A process for preparing a compound of Formula I:



I

in which:

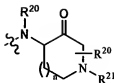
X^1 and X^2 are both methylene or X^1 is ethylene and X^2 is a bond;

R^3 is $-\text{CR}^5=\text{CHR}^6$, $-\text{CR}^5(\text{CR}^6)_2$ or $-\text{CR}^7=\text{NR}^8$, wherein R^5 is hydrogen and R^6 is hydrogen or (C_{1-4}) alkyl or R^5 and R^6 together with the atoms to which R^5 and R^6 are attached form (C_{3-12}) cycloalkenyl, hetero (C_{5-12}) cycloalkenyl, (C_{6-12}) aryl, hetero (C_{6-12}) aryl, (C_{9-12}) bicycloaryl or hetero (C_{8-12}) bicycloaryl and R^7 and R^8 together with the atoms to which R^7 and R^8 are attached form hetero (C_{5-12}) cycloalkenyl, hetero (C_{6-12}) aryl or hetero (C_{8-12}) bicycloaryl, wherein R^3 optionally is substituted by 1 to 5 radicals independently selected from a group consisting of (C_{1-4}) alkyl, cyano, halo, halo-substituted (C_{1-4}) alkyl, nitro, $-\text{X}^4\text{NR}^9\text{R}^9$, $-\text{X}^4\text{OR}^9$, $-\text{X}^4\text{SR}^9$, $-\text{X}^4\text{C}(\text{O})\text{NR}^9\text{R}^9$, $-\text{X}^4\text{C}(\text{O})\text{OR}^9$, $-\text{X}^4\text{S}(\text{O})\text{R}^{10}$, $-\text{X}^4\text{S}(\text{O})_2\text{R}^{10}$ and $-\text{X}^4\text{C}(\text{O})\text{R}^{10}$, wherein X^4 is a bond or (C_{1-2}) alkylene, R^9 at each occurrence independently is hydrogen, (C_{1-3}) alkyl or halo-substituted (C_{1-3}) alkyl and R^{10} is (C_{1-3}) alkyl or halo-substituted (C_{1-3}) alkyl; and

R^4 is $-\text{C}(\text{O})\text{X}^5\text{R}^{11}$ or $-\text{S}(\text{O})_2\text{X}^5\text{R}^{11}$, wherein X^5 is a bond, $-\text{O}-$ or $-\text{NR}^{12}-$, wherein R^{12} is hydrogen or (C_{1-6}) alkyl, and R^{11} is (i) (C_{1-6}) alkyl optionally substituted by $-\text{OR}^{13}$, $-\text{SR}^{13}$, $-\text{S}(\text{O})\text{R}^{13}$, $-\text{S}(\text{O})_2\text{R}^{13}$, $-\text{C}(\text{O})\text{R}^{13}$, $-\text{C}(\text{O})\text{OR}^{13}$, $-\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$, $-\text{NR}^{13}\text{R}^{14}$, $-\text{NR}^{14}\text{C}(\text{O})\text{R}^{13}$, $-\text{NR}^{14}\text{C}(\text{O})\text{OR}^{13}$, $-\text{NR}^{14}\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$ or $-\text{NR}^{14}\text{C}(\text{NR}^{14})\text{NR}^{13}\text{R}^{14}$, wherein R^{13} is (C_{3-12}) cycloalkyl (C_{0-3}) alkyl, hetero (C_{5-12}) cycloalkyl (C_{0-3}) alkyl, (C_{6-12}) aryl (C_{0-3}) alkyl, hetero (C_{5-12}) aryl (C_{0-3}) alkyl, (C_{9-12}) bicycloaryl (C_{0-3}) alkyl or

hetero(C₈₋₁₂)bicycloaryl(C₀₋₃)alkyl and R¹⁴ at each occurrence independently is hydrogen or (C₁₋₆)alkyl, or (ii) (C₃₋₁₂)cycloalkyl(C₀₋₃)alkyl, hetero(C₅₋₁₂)cycloalkyl(C₀₋₃)alkyl, (C₆₋₁₂)aryl(C₀₋₃)alkyl, hetero(C₅₋₁₂)aryl(C₀₋₃)alkyl, (C₉₋₁₂)bicycloaryl(C₀₋₃)alkyl or hetero(C₈₋₁₂)bicycloaryl(C₀₋₃)alkyl or (iii) (C₃₋₆)cycloalkyl(C₀₋₃)alkyl, hetero(C₅₋₆)cycloalkyl(C₀₋₃)alkyl, phenyl(C₀₋₃)alkyl or hetero(C₅₋₆)aryl(C₀₋₃)alkyl substituted by -X⁶OR¹⁵, -X⁶SR¹⁵, -X⁶S(O)R¹⁵, -X⁶S(O)₂R¹⁵, -X⁶C(O)R¹⁵, -X⁶C(O)OR¹⁵, -X⁶C(O)NR¹⁵R¹⁶, -X⁶NR¹⁵R¹⁶, -X⁶NR¹⁶C(O)R¹⁵, -X⁶NR¹⁶C(O)OR¹⁵, -X⁶NR¹⁶C(O)NR¹⁵R¹⁶, -X⁶NR¹⁶C(O)OR¹⁶, -X⁶NR¹⁶C(NR¹⁶)NR¹⁵R¹⁶, wherein X⁶ is a bond or methylene, R¹⁵ is (C₃₋₆)cycloalkyl(C₀₋₃)alkyl, hetero(C₅₋₆)cycloalkyl(C₀₋₃)alkyl, phenyl(C₀₋₃)alkyl or hetero(C₅₋₆)aryl(C₀₋₃)alkyl and R¹⁶ is hydrogen or (C₁₋₆)alkyl; wherein R⁴ optionally further contains 1 to 5 substituents which when occurring within an alicyclic or aromatic ring system are radicals independently selected from a group consisting of (C₁₋₆)alkyl, (C₁₋₆)alkylidene, cyano, halo, nitro, halo-substituted (C₁₋₃)alkyl, -X⁶NR¹⁷R¹⁷, -X⁶NR¹⁷C(O)OR¹⁷, -X⁶NR¹⁷C(O)NR¹⁷R¹⁷, -X⁶NR¹⁷C(NR¹⁷)NR¹⁷R¹⁷, -X⁶OR¹⁷, -X⁶SR¹⁷, -X⁶C(O)OR¹⁷, -X⁶C(O)NR¹⁷R¹⁷, -X⁶S(O)₂NR¹⁷R¹⁷, -X⁶P(O)(OR¹⁸)OR¹⁷, -X⁶OP(O)(OR¹⁸)OR¹⁷, -X⁶NR¹⁷C(O)R¹⁸, -X⁶S(O)R¹⁸, -X⁶S(O)₂R¹⁸ and -X⁶C(O)R¹⁸ and when occurring within an aliphatic moiety are radicals independently selected from a group consisting of cyano, halo, nitro, -NR¹⁷R¹⁷, -NR¹⁷C(O)OR¹⁷, -NR¹⁷C(O)NR¹⁷R¹⁷, -NR¹⁷C(NR¹⁷)NR¹⁷R¹⁷, -OR¹⁷, -SR¹⁷, -C(O)OR¹⁷, -C(O)NR¹⁷R¹⁷, -S(O)₂NR¹⁷R¹⁷, -P(O)(OR¹⁷)OR¹⁷, -OP(O)(OR¹⁷)OR¹⁷, -NR¹⁷C(O)R¹⁸, -S(O)R¹⁸, -S(O)₂R¹⁸ and -C(O)R¹⁸, wherein X⁶ is a bond or (C₁₋₆)alkylene, R¹⁷ at each occurrence independently is hydrogen, (C₁₋₆)alkyl or halo-substituted (C₁₋₃)alkyl and R¹⁸ is (C₁₋₆)alkyl or halo-substituted (C₁₋₃)alkyl;

X³ is a group of Formula (a):



(a)

n is 0, 1 or 2;

R²⁰ is selected from the group consisting of hydrogen, (C₁₋₆)alkyl, (C₃₋₁₂)cycloalkyl(C₀₋₆)alkyl, hetero(C₅₋₁₂)cycloalkyl(C₀₋₆)alkyl, (C₆₋₁₂)aryl(C₀₋₆)alkyl and hetero(C₅₋₁₂)aryl(C₀₋₆)alkyl;

R²¹ is selected from the group consisting of hydrogen, (C₁₋₉)alkyl, (C₃₋₁₂)cycloalkyl(C₀₋₆)alkyl, hetero(C₅₋₁₂)cycloalkyl(C₀₋₆)alkyl, (C₆₋₁₂)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₂)aryl(C₀₋₆)alkyl, (C₉₋₁₂)bicycloaryl(C₀₋₃)alkyl, hetero(C₈₋₁₂)-bicycloaryl(C₀₋₃)alkyl, -C(O)R²⁶, -C(S)R²⁶, -S(O)₂R²⁶, -C(O)OR²⁶, -C(O)N(R²⁶)R²⁷, -C(S)N(R²⁶)R²⁷ and -S(O)₂N(R²⁷)R²⁶;

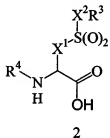
R²⁶ is selected from the group consisting of hydrogen, (C₁₋₆)alkyl, (C₃₋₁₂)cycloalkyl(C₀₋₆)alkyl, hetero(C₅₋₁₂)cycloalkyl(C₀₋₆)alkyl, (C₆₋₁₂)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₂)aryl(C₀₋₆)alkyl, (C₉₋₁₂)bicycloaryl(C₀₋₃)alkyl and hetero(C₈₋₁₂)-bicycloaryl(C₀₋₃)alkyl;

R²⁷ is hydrogen, (C₁₋₆)alkyl, (C₃₋₁₂)cycloalkyl(C₀₋₆)alkyl, hetero(C₅₋₁₂)cycloalkyl(C₀₋₆)alkyl, (C₆₋₁₂)aryl(C₀₋₆)alkyl or hetero(C₅₋₁₂)aryl(C₀₋₆)alkyl;

wherein X³ optionally further contains 1 to 5 substituents which when occurring within an alicyclic or aromatic ring system are radicals independently selected from a group consisting of (C₁₋₆)alkyl, (C₁₋₆)alkylidene, cyano, halo, nitro, halo-substituted (C₁₋₃)alkyl, -X⁶NR¹⁷R¹⁷, -X⁶NR¹⁷C(O)OR¹⁷, -X⁶NR¹⁷C(O)NR¹⁷R¹⁷, -X⁶NR¹⁷C(NR¹⁷)NR¹⁷R¹⁷, -X⁶OR¹⁷, -X⁶C(O)R¹⁷, -X⁶OR¹⁵, -X⁶SR¹⁷, -X⁶C(O)OR¹⁷, -X⁶C(O)NR¹⁷R¹⁷, -X⁶S(O)₂NR¹⁷R¹⁷, -X⁶P(O)(OR⁸)OR¹⁷, -X⁶OP(O)(OR⁸)OR¹⁷, -X⁶NR¹⁷C(O)R¹⁸, -X⁶S(O)R¹⁸, -X⁶S(O)₂R¹⁸ and -X⁶C(O)R¹⁸ and when occurring within an aliphatic moiety are radicals independently selected from a group consisting

of cyano, halo, nitro, $-\text{NR}^{17}\text{R}^{17}$, $-\text{NR}^{17}\text{C}(\text{O})\text{OR}^{17}$, $-\text{NR}^{17}\text{C}(\text{O})\text{NR}^{17}\text{R}^{17}$, $-\text{NR}^{17}\text{C}(\text{NR}^{17})\text{NR}^{17}\text{R}^{17}$, $-\text{OR}^{17}$, $-\text{SR}^{17}$, $-\text{C}(\text{O})\text{OR}^{17}$, $-\text{C}(\text{O})\text{NR}^{17}\text{R}^{17}$, $-\text{S}(\text{O})_2\text{NR}^{17}\text{R}^{17}$, $-\text{P}(\text{O})(\text{OR}^{17})\text{OR}^{17}$, $-\text{OP}(\text{O})(\text{OR}^{17})\text{OR}^{17}$, $-\text{NR}^{17}\text{C}(\text{O})\text{R}^{18}$, $-\text{S}(\text{O})\text{R}^{18}$, $-\text{S}(\text{O})_2\text{R}^{18}$ and $-\text{C}(\text{O})\text{R}^{18}$, wherein R^{15} , R^{17} , R^{18} and X^6 are as described above; said process comprising:

(A) reacting a compound of Formula 2:



with a compound of the formula (a):



in which X^1 , X^2 , R^3 , R^4 , R^{20} and R^{21} are the same as defined above for Formula I; and

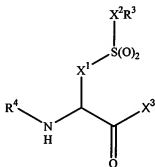
- (B) optionally converting a compound of Formula I into a pharmaceutically acceptable salt; or
- (C) optionally converting a salt form of a compound of Formula I to a non-salt form; or
- (D) optionally converting an unoxidized form of a compound of Formula I into a pharmaceutically acceptable *N*-oxide; or
- (E) optionally converting an *N*-oxide form of a compound of Formula I into an unoxidized form; or
- (F) optionally resolving an individual ~~isomer~~stereoisomer of a compound of

Formula I from a mixture of ~~isomers~~ **stereoisomers**; or

(G) optionally converting a non-derivatized compound of Formula I into a pharmaceutically acceptable prodrug derivative; or

(H) optionally converting a prodrug derivative of a compound of Formula I to a non-derivatized form.

13. (Previously presented) A compound of Formula Ix:



Ix

in which:

X^1 and X^2 are both methylene or X^1 is ethylene and X^2 is a bond;

R^3 is $-\text{CR}^5=\text{CHR}^6$, $-\text{CR}^5(\text{CR}^6)_2$ or $-\text{CR}^7=\text{NR}^8$, wherein R^5 is hydrogen and R^6 is hydrogen or (C_{1-4}) alkyl or R^5 and R^6 together with the atoms to which R^5 and R^6 are attached form (C_{3-12}) cycloalkenyl, hetero (C_{5-12}) cycloalkenyl, (C_{6-12}) aryl, hetero (C_{6-12}) aryl, (C_{9-12}) bicycloaryl or hetero (C_{8-12}) bicycloaryl and R^7 and R^8 together with the atoms to which R^7 and R^8 are attached form hetero (C_{5-12}) cycloalkenyl, hetero (C_{6-12}) aryl or hetero (C_{8-12}) bicycloaryl, wherein R^3 optionally is substituted by 1 to 5 radicals independently selected from a group consisting of (C_{1-4}) alkyl, cyano, halo, halo-substituted (C_{1-4}) alkyl, nitro, $-\text{X}^4\text{NR}^9\text{R}^9$, $-\text{X}^4\text{OR}^9$, $-\text{X}^4\text{SR}^9$, $-\text{X}^4\text{C}(\text{O})\text{NR}^9\text{R}^9$, $-\text{X}^4\text{C}(\text{O})\text{OR}^9$, $-\text{X}^4\text{S}(\text{O})\text{R}^{10}$, $-\text{X}^4\text{S}(\text{O})_2\text{R}^{10}$ and $-\text{X}^4\text{C}(\text{O})\text{R}^{10}$, wherein X^4 is a bond or (C_{1-2}) alkylene, R^9 at each occurrence independently is hydrogen, (C_{1-3}) alkyl or halo-substituted (C_{1-3}) alkyl and R^{10} is (C_{1-3}) alkyl or halo-substituted (C_{1-3}) alkyl; and

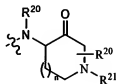
R^4 is $-\text{C}(\text{O})\text{X}^5\text{R}^{11}$ or $-\text{S}(\text{O})_2\text{X}^5\text{R}^{11}$, wherein X^5 is a bond, $-\text{O}-$ or $-\text{NR}^{12}-$,

wherein R^{12} is hydrogen or (C_{1-6}) alkyl, and R^{11} is (i) (C_{1-6}) alkyl optionally substituted by $-OR^{13}$, $-SR^{13}$, $-S(O)R^{13}$, $-S(O)_2R^{13}$, $-C(O)R^{13}$, $-C(O)OR^{13}$, $-C(O)NR^{13}R^{14}$, $-NR^{13}R^{14}$, $-NR^{14}C(O)R^{13}$, $-NR^{14}C(O)OR^{13}$, $-NR^{14}C(O)NR^{13}R^{14}$ or $-NR^{14}C(NR^{14})NR^{13}R^{14}$, wherein R^{13} is (C_{3-12}) cycloalkyl (C_{0-3}) alkyl, hetero (C_{5-12}) cycloalkyl (C_{0-3}) alkyl, (C_{6-12}) aryl (C_{0-3}) alkyl, hetero (C_{5-12}) aryl (C_{0-3}) alkyl, (C_{9-12}) bicycloaryl (C_{0-3}) alkyl or hetero (C_{8-12}) bicycloaryl (C_{0-3}) alkyl and R^{14} at each occurrence independently is hydrogen or (C_{1-6}) alkyl, or

(ii) (C_{3-12}) cycloalkyl (C_{0-3}) alkyl, hetero (C_{5-12}) cycloalkyl (C_{0-3}) alkyl, (C_{6-12}) aryl (C_{0-3}) alkyl, hetero (C_{5-12}) aryl (C_{0-3}) alkyl, (C_{9-12}) bicycloaryl (C_{0-3}) alkyl or hetero (C_{8-12}) bicycloaryl (C_{0-3}) alkyl or (iii) (C_{3-6}) cycloalkyl (C_{0-3}) alkyl, hetero (C_{5-6}) cycloalkyl (C_{0-3}) alkyl, phenyl (C_{0-3}) alkyl or hetero (C_{5-6}) aryl (C_{0-3}) alkyl substituted by $-X^6OR^{15}$, $-X^6SR^{15}$, $-X^6S(O)R^{15}$, $-X^6S(O)_2R^{15}$, $-X^6C(O)R^{15}$, $-X^6C(O)OR^{15}$, $-X^6C(O)NR^{15}R^{16}$, $-X^6NR^{15}R^{16}$, $-X^6NR^{16}C(O)R^{15}$, $-X^6NR^{16}C(O)OR^{15}$, $-X^6NR^{16}C(O)NR^{15}R^{16}$, $-X^6NR^{16}C(O)OR^{16}$, $-X^6NR^{16}C(NR^{16})NR^{15}R^{16}$, wherein X^6 is a bond or methylene, R^{15} is (C_{3-6}) cycloalkyl (C_{0-3}) alkyl, hetero (C_{5-6}) cycloalkyl (C_{0-3}) alkyl, phenyl (C_{0-3}) alkyl or hetero (C_{5-6}) aryl (C_{0-3}) alkyl and R^{16} is hydrogen or (C_{1-6}) alkyl; wherein R^4 optionally further contains 1 to 5 substituents which when occurring within an alicyclic or aromatic ring system are radicals independently selected from a group consisting of (C_{1-6}) alkyl, (C_{1-6}) alkylidene, cyano, halo, nitro, halo-substituted (C_{1-3}) alkyl, $-X^6NR^{17}R^{17}$, $-X^6NR^{17}C(O)OR^{17}$, $-X^6NR^{17}C(O)NR^{17}R^{17}$, $-X^6NR^{17}C(NR^{17})NR^{17}R^{17}$, $-X^6OR^{17}$, $-X^6SR^{17}$, $-X^6C(O)OR^{17}$, $-X^6C(O)NR^{17}R^{17}$, $-X^6S(O)_2NR^{17}R^{17}$, $-X^6P(O)(OR^{18})OR^{17}$, $-X^6OP(O)(OR^{18})OR^{17}$, $-X^6NR^{17}C(O)R^{18}$, $-X^6S(O)R^{18}$, $-X^6S(O)_2R^{18}$ and $-X^6C(O)R^{18}$ and when occurring within an aliphatic moiety are radicals independently selected from a group consisting of cyano, halo, nitro, $-NR^{17}R^{17}$, $-NR^{17}C(O)OR^{17}$, $-NR^{17}C(O)NR^{17}R^{17}$, $-NR^{17}C(NR^{17})NR^{17}R^{17}$, $-OR^{17}$, $-SR^{17}$, $-C(O)OR^{17}$, $-C(O)NR^{17}R^{17}$, $-S(O)_2NR^{17}R^{17}$, $-P(O)(OR^{17})OR^{17}$, $-OP(O)(OR^{17})OR^{17}$, $-NR^{17}C(O)R^{18}$, $-S(O)R^{18}$, $-S(O)_2R^{18}$ and $-C(O)R^{18}$, wherein X^6 is a bond or (C_{1-6}) alkylene, R^{17} at each

occurrence independently is hydrogen, (C₁₋₆)alkyl or halo-substituted (C₁₋₃)alkyl and R¹⁸ is (C₁₋₆)alkyl or halo-substituted (C₁₋₃)alkyl;

X³ is a group of Formula (a):



(a)

n is 0, 1 or 2;

R²⁰ is selected from the group consisting of hydrogen, (C₁₋₆)alkyl, (C₃₋₁₂)cycloalkyl(C₀₋₆)alkyl, hetero(C₅₋₁₂)cycloalkyl(C₀₋₆)alkyl, (C₆₋₁₂)aryl(C₀₋₆)alkyl and hetero(C₅₋₁₂)aryl(C₀₋₆)alkyl;

R²¹ is selected from the group consisting of hydrogen, (C₁₋₉)alkyl, (C₃₋₁₂)cycloalkyl(C₀₋₆)alkyl, hetero(C₅₋₁₂)cycloalkyl(C₀₋₆)alkyl, (C₆₋₁₂)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₂)aryl(C₀₋₆)alkyl, (C₉₋₁₂)bicycloaryl(C₀₋₃)alkyl, hetero(C₈₋₁₂)-bicycloaryl(C₀₋₃)alkyl, -C(O)R²⁶, -C(S)R²⁶, -S(O)₂R²⁶, -C(O)OR²⁶, -C(O)N(R²⁶)R²⁷, -C(S)N(R²⁶)R²⁷ and -S(O)₂N(R²⁷)R²⁶;

R²⁶ is selected from the group consisting of hydrogen, (C₁₋₆)alkyl, (C₃₋₁₂)cycloalkyl(C₀₋₆)alkyl, hetero(C₅₋₁₂)cycloalkyl(C₀₋₆)alkyl, (C₆₋₁₂)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₂)aryl(C₀₋₆)alkyl, (C₉₋₁₂)bicycloaryl(C₀₋₃)alkyl and hetero(C₈₋₁₂)-bicycloaryl(C₀₋₃)alkyl;

R²⁷ is hydrogen, (C₁₋₆)alkyl, (C₃₋₁₂)cycloalkyl(C₀₋₆)alkyl, hetero(C₅₋₁₂)cycloalkyl(C₀₋₆)alkyl, (C₆₋₁₂)aryl(C₀₋₆)alkyl or hetero(C₅₋₁₂)aryl(C₀₋₆)alkyl;

wherein X³ optionally further contains 1 to 5 substituents which when occurring within an alicyclic or aromatic ring system are radicals independently selected from a group consisting of (C₁₋₆)alkyl, (C₁₋₆)alkylidene, cyano, halo, nitro, halo-substituted (C₁₋₃)alkyl, -X⁶NR¹⁷R¹⁷, -X⁶NR¹⁷C(O)OR¹⁷, -X⁶NR¹⁷C(O)NR¹⁷R¹⁷, -X⁶NR¹⁷C(NR¹⁷)NR¹⁷R¹⁷, -X⁶OR¹⁷, -X⁶C(O)R¹⁷, -X⁶OR¹⁵, -X⁶SR¹⁷, -X⁶C(O)OR¹⁷,

$-X^6C(O)NR^{17}R^{17}$, $-X^6S(O)_2NR^{17}R^{17}$, $-X^6P(O)(OR^8)OR^{17}$, $-X^6OP(O)(OR^8)OR^{17}$,
 $-X^6NR^{17}C(O)R^{18}$, $-X^6S(O)R^{18}$, $-X^6S(O)_2R^{18}$ and $-X^6C(O)R^{18}$ and when occurring
within an aliphatic moiety are radicals independently selected from a group consisting
of cyano, halo, nitro, $-NR^{17}R^{17}$, $-NR^{17}C(O)OR^{17}$, $-NR^{17}C(O)NR^{17}R^{17}$,
 $-NR^{17}C(NR^{17})NR^{17}R^{17}$, $-OR^{17}$, $-SR^{17}$, $-C(O)OR^{17}$, $-C(O)NR^{17}R^{17}$, $-S(O)_2NR^{17}R^{17}$,
 $-P(O)(OR^{17})OR^{17}$, $-OP(O)(OR^{17})OR^{17}$, $-NR^{17}C(O)R^{18}$, $-S(O)R^{18}$, $-S(O)_2R^{18}$ and
 $-C(O)R^{18}$, wherein R^{15} , R^{17} , R^{18} and X^6 are as described above; or
one of *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual
isomers and mixtures of isomers of compounds of formula Ix; or one of
pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxide
derivatives, prodrug derivatives, protected derivatives, individual isomers and
mixtures of isomers formula Ix.

14. (Cancelled)

15. (Previously presented) A compound of claim 13, selected from the group
consisting of:

Morpholine-4-carboxylic acid [1-(1-benzoyl-4-oxo-pyrrolidin-3-ylcarbamoyl)-2-
phenylmethanesulfonyl-ethyl]-amide;

Morpholine-4-carboxylic acid [1-(1-benzenesulfonyl-4-oxo-pyrrolidin-3-ylcarbamoyl)
2-phenylmethanesulfonyl-ethyl]-amide;

4-{2-[(Morpholine-4-carbonyl)-amino]-3-phenylmethanesulfonyl-propionylamino}-3-
oxo-azepane-1-carboxylic acid benzyl ester; or

Acetic acid 3-{2-[(morpholine-4-carbonyl)-amino]-3-phenylmethanesulfonyl-
propionylamino}-4-oxo-azetidin-2-yl ester.

16. (Cancelled)